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B' cont

Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

R¹ is H, OH, OC₁₋₃alkyl, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

R² is H, halogen, C₁₋₃alkyl, CONR⁵R⁶, S(=O)_mC₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

R³, R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁵, R⁶ are independently H, C₁₋₃alkyl, or C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring selected from the group consisting of pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁-3alkyl, or C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl; or substituted optionally with halogen, OF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4; m is 0, 1 or 2 and any pharmaceutically acceptable salts or solvates.

2. (Amended) A compound of the formula:

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

- R¹ is H, C₁₋₅alkyl, C₃₋₅alkenyl, an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, or CF₃; or C₂₋₅alkyl substituted optionally with OH, OC_{1-3} alkyl, $S(=O)_m alkyl$ or an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, S(=O)₂ NR⁵R⁶; or C₃₋₅alkenyl substituted optionally with OH, OC₁₋₃alkyl, or S(=O)_mC₁₋₃alkyl;
- R² is H, halogen, C₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, S(=O)_xNR⁵R⁶, or C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;
- R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;
- R⁵, R⁶ are independently H, C₁₋₃alkyl, or C₂₋₃alkyl substituted optionally with OH, OC₁. 3alkyl, or R5 and R6 can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;
- R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring selected from the group consisting of pyrrolidine, piperidine, Δ^3 piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁. 3alkyl, or C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃ 3alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4;

A2 Bind n is 2 to 4; m is 0, 1 or 2

and any pharmaceutically acceptable salts or solvates.

5. (Amended) A method for lowering IOP which comprises administering to a person in need thereof, a composition comprising an effective amount of a compound of the formula:

Sub

A/8

Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

 R^1 is H, OH, OC_{1-3} alkyl, C_{1-3} alkyl, O_{1-3} alkyl substituted optionally with OH, or OC_{1-3} alkyl; C_{1-3} alkyl, C_{1-3} alkyl, or C_{1-3} alkyl substituted optionally with OH, or OC_{1-3} alkyl;

R³, R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁.

 R^5 , R^6 are independently H, C_{1-3} alkyl, or C_{2-3} alkyl substituted optionally with OH, OC_{1-3} alkyl, or R^5 and R^6 can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C_{1-3} alkyl, C_{2-3} alkyl substituted optionally with OH or OC_{1-3} alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring selected from the group consisting of pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁-3alkyl, or C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl; or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts or solvates.

6. (Amended) A method for lowering IOP which comprises administering to a person in need thereof, a composition comprising an effective amount of a compound of the formula:

50b

Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

R¹ is H, C₁₋₅alkyl, C₃₋₅alkenyl, an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, or S(=O)₂ NR⁵R⁶; or C₂₋₅alkyl substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl or an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, S(=O)₂ NR⁵R⁶; or C₃₋₅alkenyl substituted optionally with OH, OC₁₋₃alkyl, or S(=O)_mC₁₋₃alkyl;

 R^2 is H, halogen, C_{1-3} alkyl, $S(=O)_mC_{1-3}$ alkyl, or C_{1-3} alkyl substituted optionally with OH, or OC_{1-3} alkyl;

 R^3 & R^4 are independently H, C_{1-3} alkyl, or C_{1-3} alkyl substituted optionally with OH or OC_{1-3} alkyl;

R⁵, R⁶ are independently H, C₁₋₃alkyl, or C₂₋₃alkyl substituted optionally with OH, OC₁.

3alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

50b

AS

heterocyclic ring selected from the group consisting of pyrrolidine, piperidine, Δ^3 -piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C_1 -3alkyl, or C_{1-3} alkyl substituted optionally with OH, OC_{1-3} alkyl, or phenyl which can be unsubstituted or substituted optionally with halogen, CF_3 , OC_{1-3} alkyl, or C_1 -3alkyl, or substituted on nitrogen with C_1 -4alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF_3 , OC_{1-3} alkyl, or C_{1-3} alkyl;

n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts or solvates.

9. (Amended) A method for improving blood flow to the optic nerve head and the retina which comprises administering to a person in need thereof, a composition comprising an effective amount of a compound of the formula:

5 db

AY

Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

R¹ is H, OH, OC₁₋₃alkyl, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

 R^2 is H, halogen, C_{1-3} alkyl, $CONR^5R^6$, $S(=O)_mC_{1-3}$ alkyl, or C_{1-3} alkyl substituted optionally with OH, or OC_{1-3} alkyl;

 R^3 , R^4 are independently H, C_{1-3} alkyl, or C_{1-3} alkyl substituted optionally with OH or OC_{1-3} alkyl;

 R^5 , R^6 are independently H, C_{1-3} alkyl, or C_{2-3} alkyl substituted optionally with OH, OC_{1-3} alkyl, or R^5 and R^6 can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C_{1-3} alkyl, C_{2-3} alkyl substituted optionally with OH or OC_{1-3} alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a

with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring selected from the group consisting of pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁-3alkyl, or C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl; or c₁₋₃alkyl;

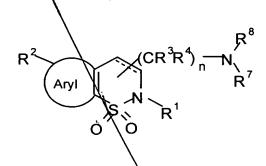
50b

n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts or solvates.

10. (Amended) A method for improving blood flow to the optic nerve head and the retina which comprises administering to a person in need thereof, a composition comprising an effective amount of a compound of the formula:



Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

R¹ is H, C₁₋₅alkyl, C₃₋₅alkenyl, an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, or S(=O)₂ NR⁵R⁶; or C₂₋₅alkyl substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl or an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, S(=O)₂ NR⁵R⁶; or C₃₋₅alkenyl substituted optionally with OH, OC₁₋₃alkyl, or S(=O)_mC₁₋₃alkyl;

- R^2 is H, halogen, C_{1-3} alkyl, $S(=O)_mC_{1-3}$ alkyl, or C_{1-3} alkyl substituted optionally with OH, or OC_{1-3} alkyl;
- R^3 & R^4 are independently H, C_{1-3} alkyl, or C_{1-3} alkyl substituted optionally with OH or OC_{1-3} alkyl;
- R⁵, R⁶ are independently H, C₁₋₃alkyl, or C₂₋₃alkyl substituted optionally with OH, OC₁.

 3alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;
- R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring selected from the group consisting of pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁. 3alkyl, or C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁. 3alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4; m is 0, 1 or 2 and any pharmaceutically acceptable salts or solvates.

13. (Amended) A method for treating retinal diseases selected from the group consisting of glaucoma, age related macular degeneration (ARMD), optic neuritis, ischemic disorders, diabetic retinopathy, and retinal edema which comprises administering to a person in need thereof, a composition comprising an effective amount of a compound of the formula:

Aryl\signifies a fused phenyl or monocyclic heteroaromatic ring;

R¹ is M, OH, OC₁₋₃alkyl, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl; R² is H, halogen, C₁₋₃alkyl, CONR⁵R⁶, S(=O)_mC₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

 R^3 , R^4 are independently H, C_{1-3} alkyl, or C_{1-3} alkyl substituted optionally with OH or OC_{1-3} alkyl;

R⁵, R⁶ are independently H, C₁₋₃alkyl, or C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring selected from the group consisting of pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁-3alkyl, or C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl; or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4; m is 0, 1 or 2 and any pharmaceutically acceptable salts or solvates.

14. (Amended) A method for treating retinal diseases selected from the group consisting of glaucoma, age related macular degeneration (ARMD), optic neuritis, ischemic disorders, diabetic retinopathy, and retinal edema which comprises administering to a person in need thereof, a composition comprising an effective amount of a compound of the formula:

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7/5

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

R¹ is H. C₁₋₅alkyl, C₃₋₅alkenyl, an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, or S(=O)₂ NR⁵R⁶; or C₂₋₅alkyl substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl or an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, S(=O)₂ NR⁵R⁶; or C₃₋₅alkenyl substituted optionally with OH, OC₁₋₃alkyl, or S(=O)_mC₁₋₃alkyl;

 R^2 is H, halogen, C_{1-3} alkyl, $S(=O)_mC_{1-3}$ alkyl, or C_{1-3} alkyl substituted optionally with OH, or OC_{1-3} alkyl;

 R^3 & R^4 are independently H, C_{1-3} alkyl, or C_{1-3} alkyl substituted optionally with OH or OC_{1-3} alkyl;

- R⁵, R⁶ are independently H, C₁₋₃alkyl, or C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;
- R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring selected from the group consisting of pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁-3alkyl, or C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl; or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4; m is 0, 1 or 2 and any pharmaceutically acceptable salts or solvates.



17. (Amended) A composition for lowering IOP comprising a pharmaceutically

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Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

 R^1 is H, OH, OC_{1-3} alkyl, C_{1-3} alkyl, C_{1-3} alkyl substituted optionally with OH, or OC_{1-3} alkyl; R^2 is H, halogen, C_{1-3} alkyl, $CONR^5R^6$, $S(=O)_mC_{1-3}$ alkyl, or C_{1-3} alkyl substituted optionally with OH, or OC_{1-3} alkyl;

R³, R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁.

3alkyl;

R⁵, R⁶ are independently H, C₁₋₃alkyl, or C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring selected from the group consisting of pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁-3alkyl, or C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁-3alkyl; or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts or solvates.

18. (Amended) A composition for lowering IOP comprising a pharmaceutically effective amount of a compound of the formula:

effective amount of a compound of the formula:

Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

- R¹ is H, C₁₋₅alkyl, C₃₋₅alkenyl, an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, or S(=O)₂ NR⁵R⁶; or C₂₋₅alkyl substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl or an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, S(=O)₂ NR⁵R⁶; or C₃₋₅alkenyl substituted optionally with OH, OC₁₋₃alkyl, or S(=O)_mC₁₋₃alkyl;
- R^2 is H, halogen, C_{1-3} alkyl, $S(=O)_mC_{1-3}$ alkyl, or C_{1-3} alkyl substituted optionally with OH, or OC_{1-3} alkyl;
- R^3 & R^4 are independently H, C_{1-3} alkyl, or C_{1-3} alkyl substituted optionally with OH or OC_{1-3} alkyl;
- R⁵, R⁶ are independently H, C₁₋₃alkyl, or C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;
- R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring selected from the group consisting of pyrrolldine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁-3alkyl, or C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁-

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3alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts or solvates.

21. A composition for improving blood flow to the optic nerve head and the retina comprising a pharmaceutically effective amount of a compound of the formula:

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A7

Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

 R^1 is H, OH, OC_{1-3} alkyl, C_{1-3} alkyl, C_{1-3} alkyl substituted optionally with OH, or OC_{1-3} alkyl;

R² is H, halogen, C₁₋₃alkyl, CONR⁵R⁶, S(=O)_mO₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

R³, R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

 R^5 , R^6 are independently H, C_{1-3} alkyl, or C_{2-3} alkyl substituted optionally with OH, OC_{1-3} alkyl, or R^5 and R^6 can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C_{1-3} alkyl, C_{2-3} alkyl substituted optionally with OH or OC_{1-3} alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring selected from the group consisting of pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be

unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl; n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts or solvates.

22. (Amended) A composition for improving blood flow to the optic nerve head and the retina comprising a pharmaceutically effective amount of a compound of the formula:

Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

R¹ is H, C₁₋₅alkyl, C₃₋₅alkenyl, an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, or S(=O)₂ NR⁵R⁶; or C₂₋₅alkyl substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl or an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, S(=O)₂ NR⁵R⁶; or C₃₋₅alkenyl substituted optionally with OH, OC₁₋₃alkyl, or S(=O)_mC₁₋₃alkyl;

R² is H, halogen, C₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

 $R^3 \& R^4$ are independently H, C_{1-3} alkyl, or C_{1-3} alkyl substituted optionally with OH or OC_{1-3} alkyl;

 R^5 , R^6 are independently H, C_{1-3} alkyl, or C_{2-3} alkyl substituted optionally with OC_1 .

3alkyl, or R^5 and R^6 can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C_{1-3} alkyl, C_{2-3} alkyl substituted optionally with OC_{1-3} alkyl;

A7

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring selected from the group consisting of pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁. 3alkyl, or C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁. 3alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4; m is 0, 1 or 2 and any pharmaceutically acceptable salts or solvates.

25. (Amended) A composition for treating retinal diseases selected from the group consisting of glaucoma, age related macular degeneration (ARMD), optic neuritis, ischemic disorders, diabetic retinopathy, and retinal edema comprising a pharmaceutically effective amount of a compound of the formula:

A8

$$R^2$$
Aryl
 R^1
 CR^3R^4
 R^7

Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

R¹ is H, OH, OC₁₋₃alkyl, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl; R² is H, halogen, C₁₋₃alkyl, CONR⁵R⁶, S(=O)_mC₁₋₃alkyl, Congressionally with OH, or OC₁₋₃alkyl;

R³, R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁵, R⁶ are independently H, C₁₋₃alkyl, or C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally

with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring selected from the group consisting of pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁. 3alkyl, or C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl; or Substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4; m is 0, 1 or 2 and any pharmaceutically acceptable salts or solvates.

26. (Amended) A composition for treating retinal diseases selected from the group consisting of glaucoma, age related macular degeneration (ARMD), optic neuritis, ischemic disorders, diabetic retinopathy, and retinal edema comprising a pharmaceutically effective amount of a compound of the formula:

Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

R¹ is H, C₁₋₅alkyl, C₃₋₅alkenyl, an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, or S(=O)₂ NR R⁵; or C₂₋₅alkyl substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl or an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, S(=O)₂ NR SR⁶; or C₃₋₅alkenyl substituted optionally with OH, OC₁₋₃alkyl, or S(=O)_mC₁.

3alkyl;

 R^2 is H, halogen, C_{1-3} alkyl, $S(=O)_mC_{1-3}$ alkyl, or C_{1-3} alkyl substituted optionally with OH, or OC_{1-3} alkyl;

- R^3 & R^4 are independently H, C_{1-3} alkyl, or C_{1-3} alkyl substituted optionally with OH or OC_{1-3} alkyl;
- R⁵, R⁶ are independently H, C₁₋₃alkyl, or C₂₋₃alkyl substituted optionally with OH, OC₁.

 3alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;
- R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring selected from the group consisting of pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁. 3alkyl, or C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl; or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4; m is 0, 1 or 2 and any pharmaceutically acceptable salts or solvates.

39. (Amended) A method for treating persons suffering from a sleeping disorder, depression, schizophrenia, anxiety, circadian rhythm disorders, and centrally and peripherally mediated hypertension, which comprises, administering a composition comprising a pharmaceutically effective amount of a compound of the formula:

\$91 50b

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Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

R¹ is H, QH, OC₁₋₃alkyl, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

 R^2 is H, halogen, C_{1-3} alkyl, $CONR^5R^6$, $S(=O)_mC_{1-3}$ alkyl, $S(=O)_2$ NR^5R^6 , C_{1-3} alkyl substituted optionally with OH, or OC_{1-3} alkyl;

R³, R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁.

3alkyl;

R⁵, R⁶ are independently H, C₁₋₃alkyl, or C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring selected from the group consisting of pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁-3alkyl, or C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl; or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4; m is 0, 1 or 2

and any pharmaceutically acceptable salts or solvates.

40. (Amended) A method for treating persons suffering from a sleeping disorder, depression, schizophrenia, anxiety, obsessive compulsive disorder, circadian rhythem disorders, and centrally and peripherally mediated hypertension which comprises, administering a composition comprising a pharmaceutically effective amount of a compound of the formula:

gub Sub

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

- R¹ is H, C₁-₅alkyl, C₃-₅alkenyl, an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁-₃alkyl, S(=O)_mC₁-₃alkyl, halogen, CF₃, or S(=O)₂ NR⁵R⁶; or C₂-₅alkyl substituted optionally with OH, OC₁-₃alkyl, S(=O)_mC₁-₃alkyl or an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁-₃alkyl, S(=O)_mC₁-₃alkyl, halogen, CF₃, S(=O)₂ NR⁵R⁶; or C₃-₅alkenyl substituted optionally with OH, OC₁-₃alkyl, or S(=O)_mC₁-₃alkyl;
- R^2 is H, halogen, C_{1-3} alkyl, $S(=O)_m C_{1-3}$ alkyl, $S(=O)_2$ NR⁵R⁶, or C_{1-3} alkyl substituted optionally with OH, or OC₁₋₃alkyl;
- $R^3 \& R^4$ are independently H, C_{1-3} alkyl, or C_{1-3} alkyl substituted optionally with OH or OC_{1-3} alkyl;
- R^5 , R^6 are independently H, C_{1-3} alkyl, or C_{2-3} alkyl substituted optionally with OH, OC_{1-3} alkyl, or R^5 and R^6 can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C_{1-3} alkyl, C_{2-3} alkyl substituted optionally with OH or OC_{1-3} alkyl;
- R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring selected from the group consisting of pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁-3alkyl, or C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or c₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be

50 D

M

unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts or solvates.

43. (Amended) A composition comprising a pharmaceutically effective amount of a compound of the formula:

PB2

$$R^2$$
 $ANVI$
 S
 N
 CR^3R^4
 n
 R^7

Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

 R^1 is H, OH, OC_{1-3} alkyl, C_{1-3} alkyl, C_{1-3} alkyl substituted optionally with OH, or OC_{1-3} alkyl; R^2 is H, halogen, C_{1-3} alkyl, $CONR^5R^6$, $S(=O)_mC_{1-3}$ alkyl, or C_{1-3} alkyl substituted optionally with OH, or OC_{1-3} alkyl;

 R^3 , R^4 are independently H, C_{1-3} alkyl, or C_{1-3} alkyl substituted optionally with OH or OC_{1-3} alkyl;

R⁵, R⁶ are independently H, C₁₋₃alkyl, or C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring selected from the group consisting of pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁-3alkyl, or C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl; or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4;

no is 0, 1 or 2

and any pharmaceutically acceptable salts or solvates in a pharmaceutically acceptable carrier.

44 (Amended) A composition comprising a pharmaceutically effective amount of a compound of the formula:

B2 cont

AID

Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

- R^1 is H, C_{1-5} alkyl, C_{3-5} alkenyl, an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC_{1-3} alkyl, $S(=O)_mC_{1-3}$ alkyl, halogen, CF_3 , or $S(=O)_2$ NR^5R^6 ; or C_{2-5} alkyl substituted optionally with OH, OC_{1-3} alkyl, $S(=O)_mC_{1-3}$ alkyl or an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC_{1-3} alkyl, $S(=O)_mC_{1-3}$ alkyl, halogen, CF_3 , $S(=O)_2$ NR^5R^6 ; or C_{3-5} alkenyl substituted optionally with OH, OC_{1-3} alkyl, or $S(=O)_mC_{1-3}$ alkyl;
- R^2 is H, halogen, C_{1-3} alkyl, $S(=O)_mC_{1-3}$ alkyl, or C_{1-3} alkyl substituted optionally with OH, or OC_{1-3} alkyl;
- R^3 & R^4 are independently H, C_{1-3} alkyl, or C_{1-3} alkyl substituted optionally with OH or OC_{1-3} alkyl;
- R⁵, R⁶ are independently H, C₁₋₃alkyl, or C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;
- R^7 , R^8 are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring selected from the group consisting of pyrrolidine, piperidine, Δ^3